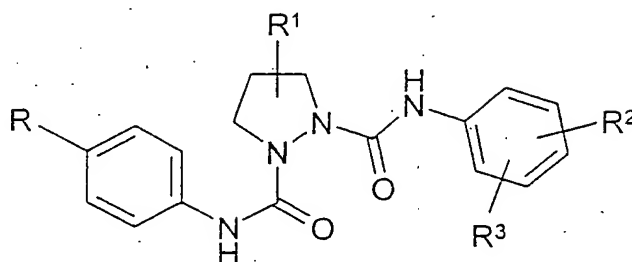


Patent Claims

1. Compounds of the formula I



in which

- R denotes H, A, A-CO-, Hal, $-C\equiv C-H$, $-C\equiv C-A$ or $-C\equiv C-C(=O)-A$,
- R¹ denotes H, =O, Hal, A, OH, OA, A-COO-, Ph-(CH₂)_n-COO-, cycloalkyl-(CH₂)_n-COO-, A-CONH-, A-CONA-, Ph-CONA-, N₃, NH₂, NO₂, CN, COOH, COOA, CONH₂, CONHA, CON(A)₂, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA or =CF₂,
- Ph denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA or Hal,
- R² denotes H, Hal or A,
- R³ denotes a monocyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, CN, (CH₂)_nOH, (CH₂)_nHal, NR⁴R⁵, =NH, =N-OH, =N-OA and/or carbonyl oxygen (=O), or CONR⁴R⁵,
- R⁴, R⁵, independently of one another, denote H or A,
- R⁴ and R⁵ together also denote an alkylene chain having 3, 4 or 5 C atoms, which may also be substituted by A, Hal, OA and/or carbonyl oxygen (=CO),

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

5 Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

10

2. Compounds according to Claim 1, in which

R denotes Hal or $-C\equiv C-H$,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

15

3. Compounds according to Claim 1 or 2, in which

R³ denotes a monocyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, =NH and/or carbonyl oxygen (=O), or CONR⁴R⁵

20

R⁴, R⁵, independently of one another, denote H or A,

25

R⁴ and R⁵ together also denote an alkylene chain having 3, 4 or 5 C atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

30

4. Compounds according to one or more of Claims 1-3, in which

R³ denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1H-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1H-pyridin-1-yl, 2-oxo-1H-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1H-pyrazin-1-yl, 2,6-

35

dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl,

optionally mono- or disubstituted by Hal and/or A,
or

CONR⁴R⁵,

R⁴, R⁵, independently of one another, denote H or A,

R⁴ and R⁵ together also denote an alkylene chain having 3, 4 or 5 C atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

5. Compounds according to one or more of Claims 1-4, in which
R¹ denotes H, =O, OH, OA, A-COO-, Ph-(CH₂)_n-COO-,
cycloalkyl-(CH₂)_n-COO-,

Ph denotes unsubstituted phenyl,
and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

6. Compounds according to one or more of Claims 1-5, in which
R denotes Hal or -C≡C-H,
R¹ denotes H, =O, OH, OA, A-COO-, Ph-(CH₂)_n-COO-,
cycloalkyl-(CH₂)_n-COO-,
Ph denotes unsubstituted phenyl,
R² denotes H, Hal or A,

5 R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl, optionally mono- or disubstituted by Hal and/or A, or $CONR^4R^5$,
 10 R^4, R^5 , independently of one another, denote H or A,
 15 R^4 and R^5 together also denote an alkylene chain having 3, 4 or 5 C atoms,
 20 and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.
 25

7. Compounds according to one or more of Claims 1-6, in which
 30 R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-
 35

1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

optionally mono- or disubstituted by Hal and/or A,

5 and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

8. Compounds according to one or more of Claims 1-7, in which

10 R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

20 and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

9. Compounds according to one or more of Claims 1-8, in which

25 R denotes Hal or $-C\equiv C-H$,

R^1 denotes H, =O, OH, OA, A-COO-, Ph-(CH₂)_n-COO-, cycloalkyl-(CH₂)_n-COO-,

Ph denotes unsubstituted phenyl,

R^2 denotes H, Hal or A,

30 R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxo-

35

azepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

10. Compounds according to Claim 1 selected from the group

1-N-[(4-ethynylphenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[4-(3-oxomorpholin-4-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[4-(2-oxopiperidin-1-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-methyl-4-(2-oxopyrrolidinyl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-fluoro-4-(3-oxomorpholin-4-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-fluoro-4-(2-oxopyrrolidinyl)-phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-chloro-4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

5 1-N-[(4-chlorophenyl)]-2-N-[[4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-trifluoromethyl-4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

10 1-N-[(4-chlorophenyl)]-2-N-[[3-chloro-4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-pyrazolidine-1,2-dicarboxamide,

15 1-N-[(4-chlorophenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]]pyrazolidine-1,2-dicarboxamide,

20 1-N-[(4-chlorophenyl)]-2-N-[[3-chloro-4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-chloro-4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]]pyrazolidine-1,2-dicarboxamide,

25 1-N-[(4-chlorophenyl)]-2-N-[[3-methyl-4-(2-oxopyrrolidinyl)-phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[4-(3-oxomorpholin-4-yl)phenyl]]-4-oxopyrazolidine-1,2-dicarboxamide,

30 1-N-[(4-chlorophenyl)]-2-N-[[4-(2-oxopiperidinyl)phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[4-(3-oxomorpholin-4-yl)phenyl]]pyrazolidine-1,2-dicarboxamide,

35 1-N-[(4-chlorophenyl)]-2-N-[[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[3-trifluoromethyl-4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-chlorophenyl)]-2-N-[[4-(2-azabicyclo[2.2.2]-octan-3-on-2-yl)phenyl]]pyrazolidine-1,2-dicarboxamide,

5 1-N-[(4-chlorophenyl)]-2-N-[[4-(2-oxo-1,3-oxazinan-3-yl)phenyl]]-pyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-pyrazolidine-1,2-dicarboxamide,

10 1-N-[(4-ethynylphenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]pyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

15 1-N-[(4-ethynylphenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

20 1-N-[(4-ethynylphenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]]-(*R*)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-(*R*)-4-hydroxypyrazolidine-1,2-dicarboxamide,

25 1-N-[(4-ethynylphenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-(*R*)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]]-(*S*)-4-hydroxypyrazolidine-1,2-dicarboxamide,

30 1-N-[(4-ethynylphenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-(*S*)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-(*S*)-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]]-4-acetoxypyrazolidine-1,2-dicarboxamide,

35 1-N-[(4-ethynylphenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-4-benzylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-4-benzoyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]]-4-*tert*-butylcarbonyloxypyrazolidine-1,2-dicarboxamide,

5 1-N-[(4-ethynylphenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-4-isobutylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-4-cyclohexylmethylcarbonyloxypyrazolidine-1,2-dicarbox-
amide,

10 1-N-[(4-ethynylphenyl)]-2-N-[[3-chloro-4-(3-oxomorpholin-4-yl)-phenyl]]-4-cyclopentylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-ethynylphenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-4-cyclopropylmethylcarbonyloxypyrazolidine-1,2-dicarboxamide,

15 1-N-[(4-ethynylphenyl)]-2-N-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-4-cyclobutylcarbonyloxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-bromophenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-pyrazolidine-1,2-dicarboxamide,

20 1-N-[(4-bromophenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-4-hydroxypyrazolidine-1,2-dicarboxamide,

1-N-[(4-bromophenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-(*S*)-4-hydroxypyrazolidine-1,2-dicarboxamide,

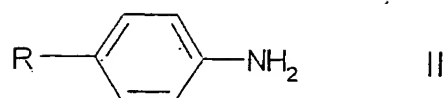
25 1-N-[(4-bromophenyl)]-2-N-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-(*R*)-4-hydroxypyrazolidine-1,2-dicarboxamide,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

30

11. Process for the preparation of compounds of the formula I according to Claims 1-10 and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, characterised in that

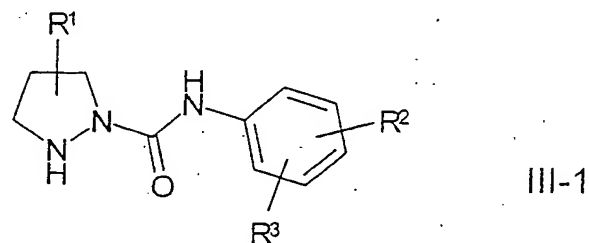
35 a) a compound of the formula II



in which R has the meaning indicated in Claim 1,

is reacted with a chloroformate derivative to give an intermediate carbamate derivative,

which is subsequently reacted with a compound of the formula III-



in which

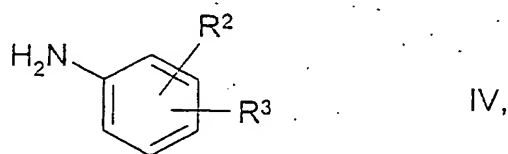
R^1 , R^2 and R^3 have the meaning indicated in Claim 1,

and, if R^1 denotes OH, the OH group is optionally in protected form

and subsequently, if desired, the OH-protecting group is removed,

or

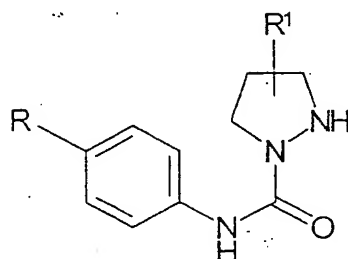
b) a compound of the formula IV



in which R^2 and R^3 have the meaning indicated in Claim 1,

is reacted with a chloroformate derivative to give an intermediate carbamate derivative,

which is subsequently reacted with a compound of the formula III-2

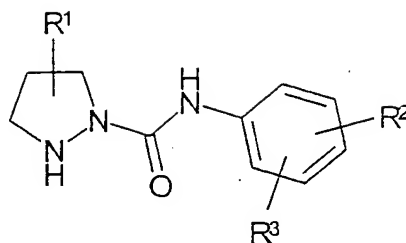


III-2

- 5
- 10 in which R and R¹ have the meaning indicated in Claim 1,
and, if R¹ denotes OH, the OH group is optionally in protected form,
and subsequently, if desired, the OH-protecting group is removed,
- 15 and/or
a base or acid of the formula I is converted into one of its salts.
12. Compounds of the formula I according to one or more of Claims 1 to
20 10 as inhibitors of coagulation factor Xa.
13. Compounds of the formula I according to one or more of Claims 1 to
10 as inhibitors of coagulation factor VIIa.
- 25 14. Medicaments comprising at least one compound of the formula I
according to one or more of Claims 1 to 10 and/or pharmaceutically
usable derivatives, salts, solvates and stereoisomers thereof,
including mixtures thereof in all ratios, and optionally excipients
30 and/or adjuvants.
15. Medicaments comprising at least one compound of the formula I
according to one or more of Claims 1 to 10 and/or pharmaceutically
35 usable derivatives, salts, solvates and stereoisomers thereof,

including mixtures thereof in all ratios, and at least one further medicament active ingredient.

- 5 16. Use of compounds according to one or more of Claims 1 to 10 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, 10 tumours, tumour diseases and/or tumour metastases.
- 17 Set (kit) consisting of separate packs of
(a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable 15 derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and
(b) an effective amount of a further medicament active ingredient. 20 ent.
18. Use of compounds of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, 25 solvates and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, 30 migraine, tumours, tumour diseases and/or tumour metastases, in combination with at least one further medicament active ingredient.
19. Intermediate compounds of the formula III-1 35



III-1

in which

R^1 denotes H, =O, Hal, A, OR^6 , OA, A-COO-, Ph-(CH₂)_n-COO-, cycloalkyl-(CH₂)_n-COO-, A-CONH-, A-CONA-, Ph-CONA-, N₃, NH₂, NO₂, CN, COOH, COOA, CONH₂, CONHA, CON(A)₂, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA, or =CF₂,

Ph denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA or Hal,

R^2 denotes H, Hal or A,

R^3 denotes a monocyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, CN, (CH₂)_nOH, (CH₂)_nHal, NR⁴R⁵, =NH, =N-OH, =N-OA and/or carbonyl oxygen (=O), CONR⁴R⁵,

R^4 , R^5 , independently of one another, denote H or A,

R^4 and R^5 together also denote an alkylene chain having 3, 4 or 5 C atoms, which may also be substituted by A, Hal, OA and/or carbonyl oxygen (=CO),

R^6 denotes an OH-protecting group,

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

and isomers and salts thereof.

20. Intermediate compounds according to Claim 19,

in which

R¹ denotes H, =O, OR⁶, OA, A-COO-, Ph-(CH₂)_n-COO- or cycloalkyl-(CH₂)_n-COO-,

5 Ph denotes unsubstituted phenyl,

R² denotes H, Hal or A,

R³ denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

10

15

R⁶ denotes an OH-protecting group,

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

20

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2, 3 or 4,

25 and isomers and salts thereof.

21. Intermediate compounds according to Claim 20,

in which

30 R¹ denotes H, =O or OR⁶,

R² denotes H, Hal or A,

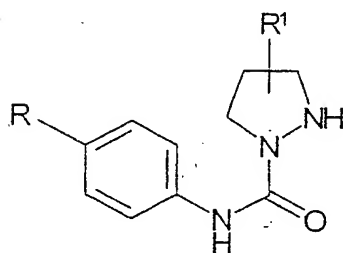
R³ denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-

35

yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxo-azepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl,

- 5 R^6 denotes an alkylsilyl protecting group,
 A denotes unbranched, branched or cyclic alkyl having
 1-10 C atoms, in which 1-7 H atoms may also be
 replaced by F and/or chlorine,
 10 Hal denotes F, Cl, Br or I,
 n denotes 0, 1, 2, 3 or 4,
 and isomers and salts thereof.

15 22. Intermediate compounds of the formula III-2



III-2

in which

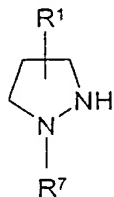
- 20 R denotes H, A, A-CO-, Hal, $-C\equiv C-H$, $-C\equiv C-A$ or
 25 $-C\equiv C-C(=O)-A$,
 R^1 denotes H, =O, Hal, A, OR^6 , OA, A-COO-, $Ph-(CH_2)_n-$
 COO-, cycloalkyl- $(CH_2)_n$ -COO-, A-CONH-, A-CONA-,
 Ph-CONA-, N_3 , NH_2 , NO_2 , CN, COOH, COOA, $CONH_2$,
 30 CONHA, $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-
 OH, =N-OA or =CF₂,
 Ph denotes phenyl which is unsubstituted or mono-, di- or
 trisubstituted by A, OA or Hal,
 R^6 denotes an OH-protecting group,
 35

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,
Hal denotes F, Cl, Br or I,
5 n denotes 0, 1, 2, 3 or 4,
where, if R¹ denotes H, R does not denote Cl,
and isomers and salts thereof.

10 23. Intermediate compounds according to Claim 22,
in which
R denotes Hal or -C≡C-H,
R¹ denotes H, =O, OR⁶, OA, A-COO-, Ph-(CH₂)_n-COO- or
15 cycloalkyl-(CH₂)_n-COO-,
Ph denotes phenyl which is unsubstituted or mono-, di- or
trisubstituted by A, OA or Hal,
R⁶ denotes an OH-protecting group,
A denotes unbranched, branched or cyclic alkyl having
20 1-10 C atoms, in which 1-7 H atoms may also be
replaced by F and/or chlorine,
Hal denotes F, Cl, Br or I,
n denotes 0, 1, 2, 3 or 4,
25 where, if R¹ denotes H, R does not denote Cl,
and isomers and salts thereof.

23. Intermediate compounds according to Claim 22,
in which
30 R denotes Hal or -C≡C-H,
R¹ denotes H, =O or OR⁶,
R⁶ denotes an alkylsilyl protecting group,
Hal denotes F, Cl, Br or I,
35 where, if R¹ denotes H, R does not denote Cl,
and isomers and salts thereof.

24. Intermediate compounds of the formula VI.



VI

in which

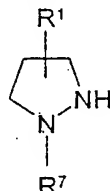
R^1 denotes OH or OR^6 ;

R^6 denotes a silyl protecting group,

R^7 denotes *tert*-butoxycarbonyl (BOC) or benzyloxycarbonyl (Z),

and isomers thereof.

25. Process for the preparation of compounds of the formula VI



VI

in which

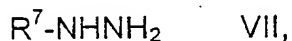
R^1 denotes OH or OR^6 ,

R^6 denotes a silyl protecting group,

R^7 denotes *tert*-butoxycarbonyl (BOC) or benzyloxycarbonyl (Z),

and isomers thereof,

obtainable by reaction of a compound of the formula VII



in which R^7 denotes BOC or Z,

with silyl-protected 1,3-dibromopropan-2-ol,

and optionally subsequent removal of the protecting group.